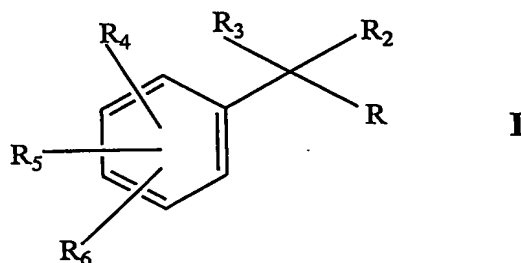


Claims

1. A sodium channel blocker represented by the general structure:



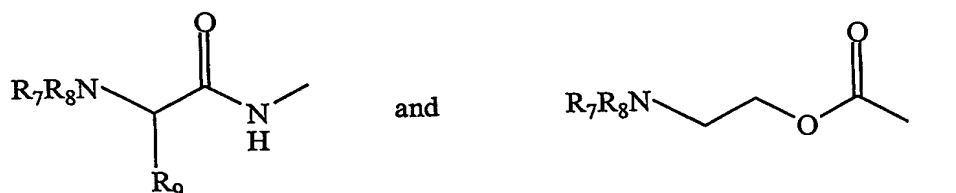
wherein R is selected from the group consisting of C₁-C₁₂ alkyl, C₂-C₉ alkenyl, C₂-C₉ alkynyl, -(CH₂)_mCOOH, -(CH₂)_mNH₂, -(CH₂)_mCONH₂, -(CH₂)_nC₃-C₆ cycloalkyl, -(CH₂)_naryl, -(CH₂)_nsubstituted aryl, -(CH₂)_pNCH₃(CH₂)_psubstituted aryl and -(CH₂)_nsubstituted heterocyclic, wherein m is an integer ranging from 3-8, n is an integer ranging from 0-4 and p is an integer ranging from 1-4;

R₂ is selected from the group consisting of -(CH₂)_nCOOH, -(CH₂)_nNH₂, and -(CH₂)_nCONHR₁₀;

R₃ is selected from the group consisting of hydroxy, amino, C₁-C₄ alkoxy, -CH₂OH and -CONH₂, or R₂ and R₃ taken together with the atoms to which they are attached form an optionally substituted heterocyclic ring;

R₄ and R₅ are independently selected from the group consisting of H, halo, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, and C₁-C₄ alkoxy; and

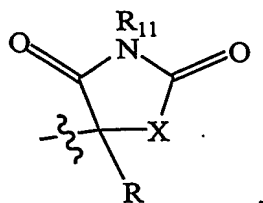
R₆ is selected from the group consisting of H, C₁-C₈ alkyl,



wherein R₇ and R₈ are independently selected from the group consisting of H, C₁-C₄ alkyl, C₂-C₄ alkenyl and C₂-C₄ alkynyl, and R₉ is H, or R₈ and R₉ taken together with the atoms to which they are attached form an optionally substituted heterocyclic ring, and R₁₀ is selected from the group consisting of H, benzyl and C₁-C₄ alkyl, with the proviso that when R₂ and R₃ taken together form a heterocyclic ring, R is not -(CH₂)_naryl.

2. The compound of claim 1, wherein R_2 is $-(CH_2)_nCONH_2$; and R_3 is hydroxyl.

3. The compound of claim 1, wherein R_2 and R_3 taken together with the atoms to which they are attached form a heterocyclic ring having the structure:

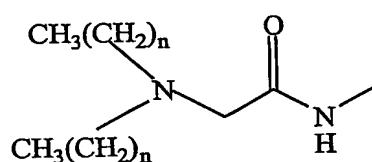


wherein X is selected from the group consisting of $-CHR_{12}-$, $-O-$ and $-NR_{12}-$, wherein R_{11} and R_{12} are independently selected from the group consisting of H, benzyl and C_1-C_4 alkyl.

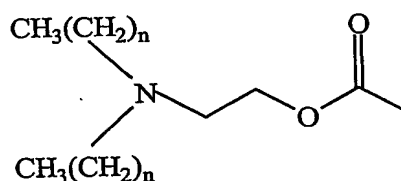
4. The compound of claim 2 or 3 wherein R is selected from the group consisting of C_1-C_{12} alkyl, C_2-C_8 alkenyl and C_2-C_8 alkynyl.

5. The compound of claim 2 or 3 wherein R_4 and R_5 are independently selected from the group consisting of H, halo and C_1-C_4 alkyl; and

R_6 is selected from the group consisting of H,



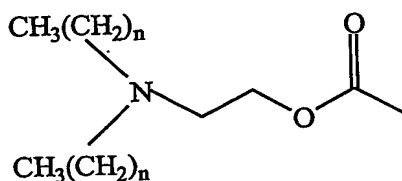
and



wherein n is an integer ranging from 0-2.

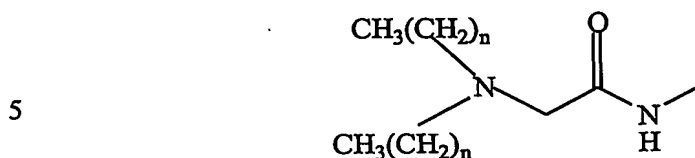
6. The compound of claim 5 wherein R_4 and R_6 are both H, and R_5 is Cl or F.

7. The compound of claim 5 wherein R_4 and R_5 are both H, and R_6 is



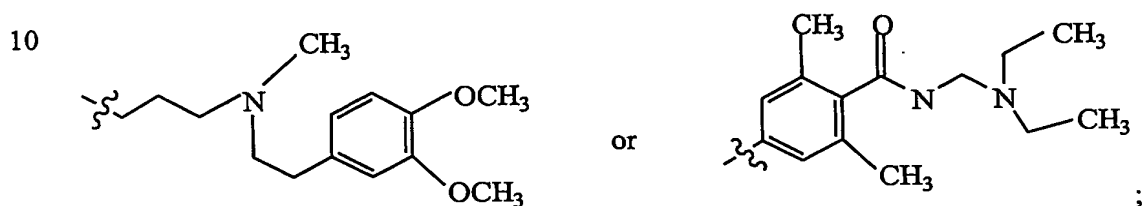
wherein n is an integer ranging from 0-2.

8. The compound of claim 5 wherein R_4 and R_5 are both C_1 - C_4 alkyl, and R_6 is



wherein n is an integer ranging from 0-2.

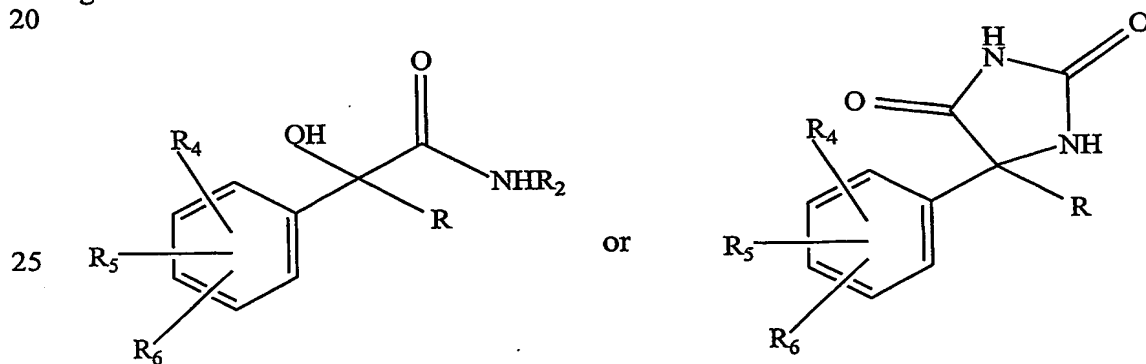
9. The compound of claim 2 or 3 wherein R is



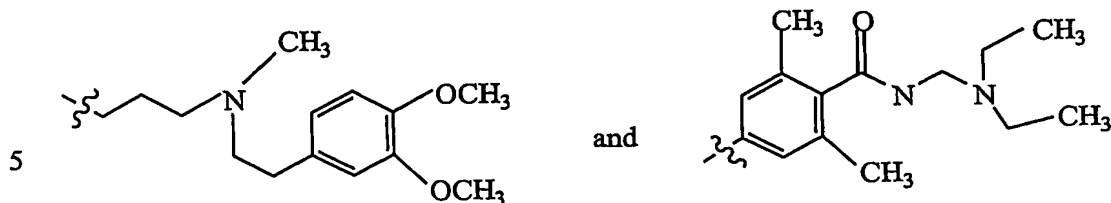
15 R_4 and R_5 are independently selected from the group consisting of H, halo and C_1 - C_4 alkoxy; and

R_6 is H.

10. A pharmaceutical composition comprising a compound represented by the general formula:



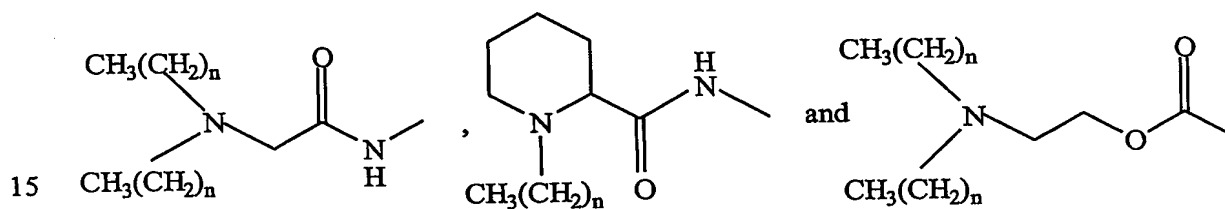
30 wherein R is selected from the group consisting of C_1 - C_{12} alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, $-(CH_2)_n C_3$ - C_6 cycloalkyl,



wherein n is an integer ranging from 0-4;

R₂ is H or C₁-C₄ alkyl;

10 R₄ and R₅ are independently selected from the group consisting of H, halo, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, -COR₁₁ and (C₁-C₄) alkoxy; and
R₆ is selected from the group consisting of H, halo,



wherein R₁₁ is selected from the group consisting of H, C₁-C₄ alkyl, NH₂ and OH; and
a pharmaceutically acceptable carrier.

20 11. The composition of claim 10 further comprising an anti-tumor agent.

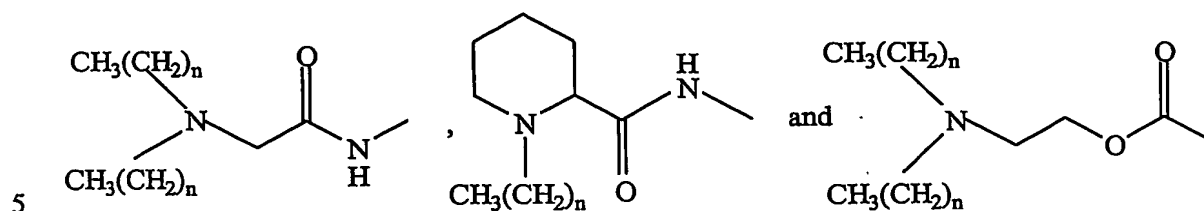
12. The composition of claim 11, wherein the anti-tumor agent is a
chemotherapeutic.

25 13. The composition of claim 10, wherein R is selected from the group consisting
of C₁-C₁₂ alkyl;

R₄ and R₅ are independently selected from the group consisting of H, halo and C₁-C₄
alkyl; and

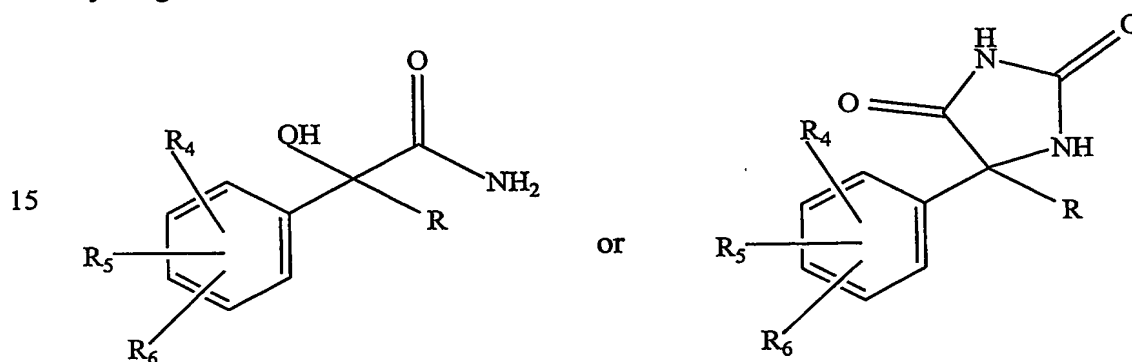
R₆ is selected from the group consisting of H,

30

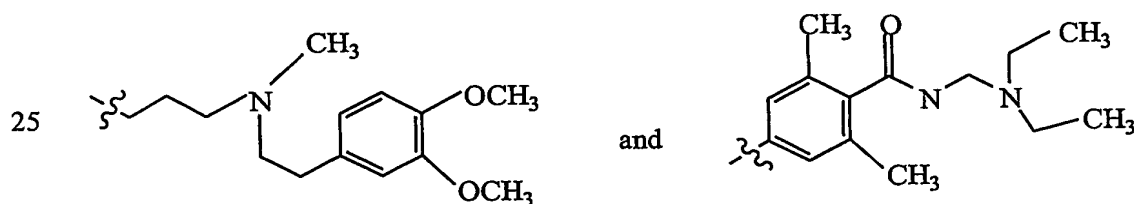


wherein n is an integer ranging from 0-4.

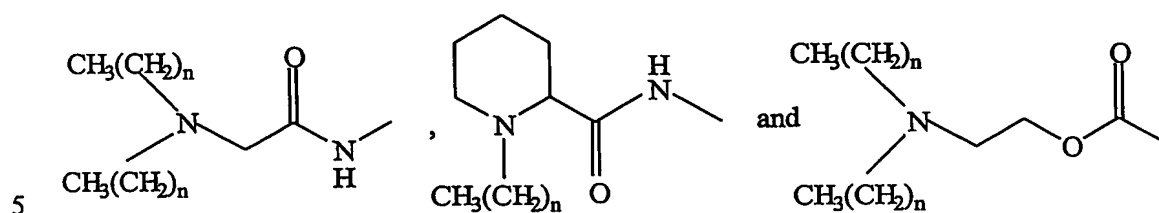
14. A method of specifically inhibiting voltage-gated sodium channels, said method comprising the step of contacting said sodium channel with a compound represented by the general structure:
- 10



- 20
- wherein R is selected from the group consisting of C₁-C₁₂ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, -(CH₂)_nC₃-C₆ cycloalkyl,



- 30
- R₄ and R₅ are independently selected from the group consisting of H, halo, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, -COR₁₁ and (C₁-C₄) alkoxy; and
- R₆ is selected from the group consisting of H, halo,

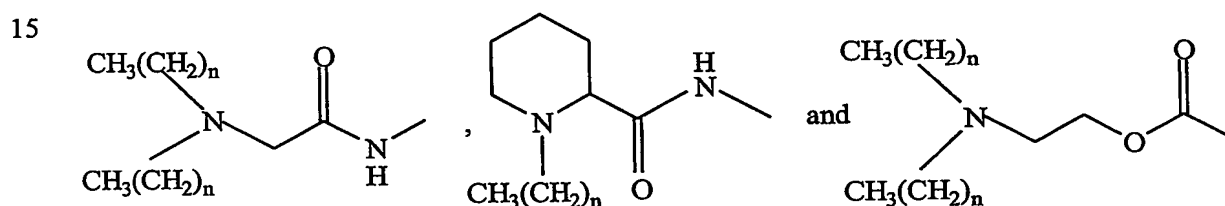


wherein R₁₁ is selected from the group consisting of H, C₁-C₄ alkyl, NH₂ and OH, and n is an integer ranging from 0-4.

15. The method of claim 14 wherein R is selected from the group consisting of C₁-
10 C₁₂ alkyl;

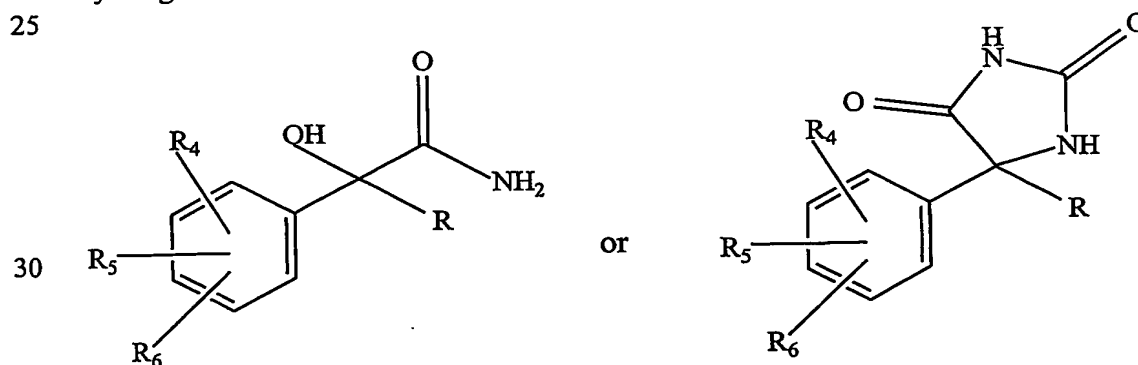
R₄ and R₅ are independently selected from the group consisting of H, halo and C₁-C₄ alkyl; and

R₆ is selected from the group consisting of H,

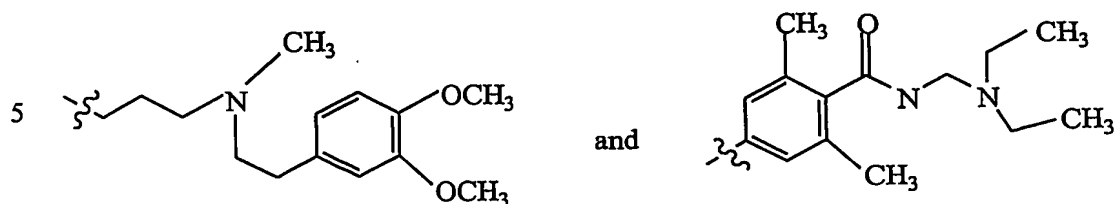


20 wherein n is an integer ranging from 0-4.

16. A method for treating a neoplastic disease, said method comprising the step of administering to a patient in need thereof a composition comprising a compound represented by the general structure:



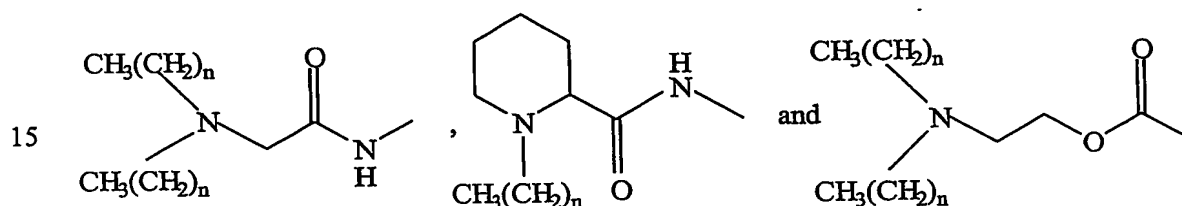
wherein R is selected from the group consisting of C₁-C₁₂ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, -(CH₂)_nC₃-C₆ cycloalkyl,



wherein n is an integer ranging from 0-4;

10 R₄ and R₅ are independently selected from the group consisting of H, halo, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, -COR₁₁ and (C₁-C₄) alkoxy; and

R₆ is selected from the group consisting of H, halo,

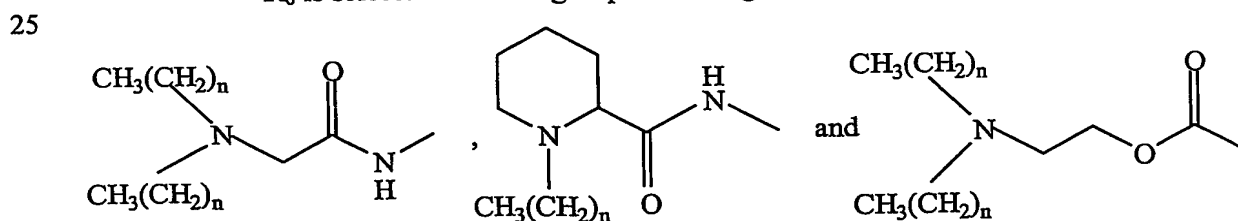


wherein R₁₁ is selected from the group consisting of H, C₁-C₄ alkyl, NH₂ and OH.

20 17. The method of claim 16 wherein R is selected from the group consisting of C₁-C₁₂ alkyl;

R₄ and R₅ are independently selected from the group consisting of H, halo and C₁-C₄ alkyl; and

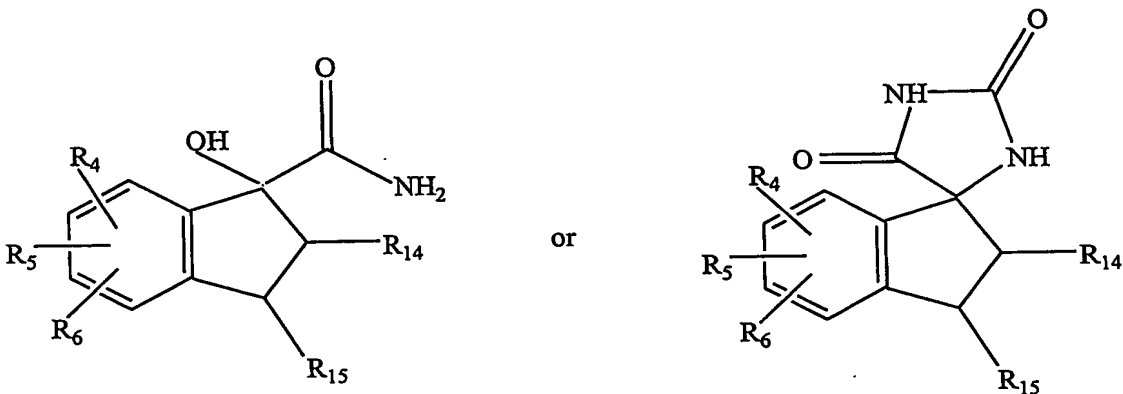
R₆ is selected from the group consisting of H,



30 wherein n is an integer ranging from 0-4.

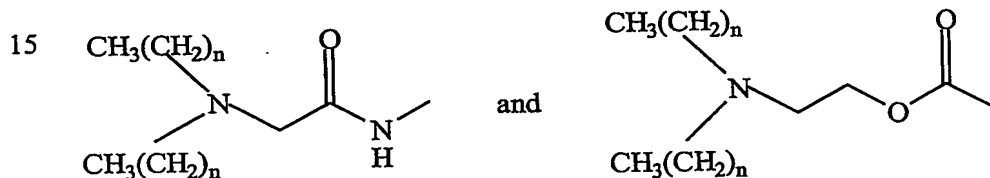
18. The method of claim 17 wherein R₄ and R₅ are independently selected from the group consisting of H and halo; and R₆ is H.

19. A sodium channel blocker represented by the general structure



wherein R_4 and R_5 are independently selected from the group consisting of H, halo and C_1 - C_4 alkyl;

R_6 is selected from the group consisting of H,



wherein n is an integer ranging from 0-4 and

R_{14} and R_{15} are independently selected from the group consisting of H and halo, or R_{14} and R_{15} taken together with the atoms to which they are attached form an optionally substituted C_5 - C_6 aryl.

20. The compound of claim 19 wherein R_4 , R_5 and R_6 are independently H or halo;
and
 R_{14} and R_{15} are each H or taken together with the atoms to which they are attached form a phenyl ring.